

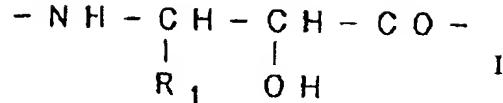
EUROPEAN PATENT OFFICE

Patent Abstracts of Japan

PUBLICATION NUMBER : 04001140
PUBLICATION DATE : 06-01-92

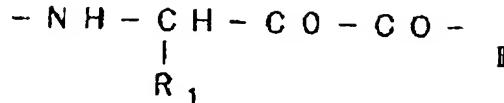
APPLICATION DATE : 13-04-90
APPLICATION NUMBER : 02099174

APPLICANT : MICROBIAL CHEM RES FOUND;



INVENTOR : NAGAI MACHIKO;

INT.CL. : C07B 41/06 C07C271/18 C07D207/16
C07K 1/02 C07K 5/06 // A61K 37/64
B01J 31/02



TITLE : PRODUCTION OF
3-AMINO-2-OXOFATTY ACID
DERIVATIVE

ABSTRACT : PURPOSE: To produce a physiologically active substance having 3-amino-2- oxocarbonyl group by oxidizing a 3-amino-2-hydroxyfatty acid derivative with an oxidizing agent such as DMSO and, as necessary, eliminating protecting group.

CONSTITUTION: The objective compound having a partial structural formula II can be produced by oxidizing a compound having partial structural formula I (R_1 is saturated or unsaturated hydrocarbon group) and, as necessary, eliminating protecting group. The reaction is preferably carried out under a mild condition not to exert adverse effect to the compound except for the oxidation at 2-site. Preferably, DMSO is used as the oxidizing agent and a combination of a weak base and an acid (preferably salt of trifluoroacetic acid and pyridines) as a catalyst. Further, it is preferable to use a carbodiimide, acetic anhydride, oxalyl chloride, etc., in combination with the above compounds. The reaction is carried out at 0-40°C for 3-50hr in DMSO or other solvent.

COPYRIGHT: (C)1992,JPO&Japio